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Use of a combination of nateglinide with another antidiabetic compound  
for treating a metabolic disorder, e.g. diabetes and associated  
conditions, or for effecting weight loss

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Patent Family:

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WO 200121159 A2 20010329 WO 2000EP9074 A 20000915 200130 B

FR 2798592 A1 20010323 FR 200011782 A 20000915 200130

FI 200100683 A 20010515 WO 2000EP9074 A 20000915 200140

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CZ 200101723 A3 20010815 WO 2000EP9074 A 20000915 200157

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MX 2001004255 A1 20010801 MX 20014255 A 20010427 200238

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NO 200201197 A 20020516 WO 2000EP9074 A 20000915 200240

NO 20021197 A 20020311

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US 2000240918 P 20000309

US 2000304196 P 20000407

US 2000663264 A 20000915

US 2003345908 A 20030116

Priority Applications (No Type Date): GB 200021055 A 20000826; US 99398364  
A 19990917; US 2000545480 A 20000407

Patent Details:

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Designated States (Regional): AT BE CH CY DE DK EA ES FI FR GB GH GM GR

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FI 200100683 A A61K-000/00  
 AU 200079044 A A61K-031/00 Based on patent WO 200121159  
 CZ 200101723 A3 A61K-031/198 Based on patent WO 200121159  
 MX 2001004255 A1 A61K-031/00  
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 Designated States (Regional): AL AT BE CH CY DE DK ES FI FR GB GR IE IT  
 LI LT LU LV MC MK NL PT RO SE SI  
 NO 200201197 A A61K-000/00  
 BR 200014525 A A61K-031/00 Based on patent WO 200121159  
SK 200200360 A3 A61K-031/00 Based on patent WO 200121159  
 BE 1013726 A5 A61K-000/00  
 KR 2002038758 A A61K-031/64  
 JP 2003509457 W 83 A61K-031/198 Based on patent WO 200121159  
 US 20030162816 A1 A61K-031/4439 Provisional application US 99240911

Provisional application US 2000240918  
 Provisional application US 2000304196  
 Cont of application US 2000663264

Abstract (Basic): WO 200121159 A2

NOVELTY - Nateglinide (I), optionally in combination with another antidiabetic compound, can be used in the treatment of diabetes and associated conditions. The combination can also be used for effecting weight loss.

DETAILED DESCRIPTION - Use of a combination of nateglinide (I) and at least 1 other antidiabetic compound, selected from thiazolidine derivatives (glitazones), sulfonyl urea derivatives and metformin, present in the free form or as salts, for prevention, delay of progression or treatment of metabolic disorders, or for cosmetic treatment to effect a loss of body weight, is new.

INDEPENDENT CLAIMS are included for the following:

- (a) a combination of (I) with an antidiabetic compound (as described above) for simultaneous, sequential or separate use;
- (b) compositions comprising (I) with the antidiabetic compound; and
- (c) a composition capable of being granulated in the presence of water without the need for a subsequent pulverization step prior to tableting, comprising (I) and a carrier; and its use for treating a metabolic disorder.

ACTIVITY - Antidiabetic; anorectic; antilipemic; ophthalmological; vasotropic; antiulcer; antiinflammatory; cardiant; hypotensive; antianginal; dermatological; antiarthritic; osteopathic; gastrointestinal.

MECHANISM OF ACTION - None given.

USE - For treating a metabolic disorder, e.g. diabetes (particularly type II diabetes mellitus) and associated conditions, also for effecting weight loss. The compositions can be used to treat e.g. hyperglycemia, hyperinsulinemia, hyperlipidemia, insulin resistance, impaired glucose metabolism, obesity, diabetic retinopathy, macular degeneration, cataracts, diabetic nephropathy, glomerulonephritis, diabetic neuropathy, erectile dysfunction, premenstrual syndrome, vascular restenosis, ulcerative colitis, coronary heart disease, hypertension, angina pectoris, myocardial infarction, stroke, skin and connective tissue disorders, foot ulcerations, metabolic acidosis, arthritis, osteoporosis, and conditions of impaired glucose tolerance.

pp; 60 DwgNo 0/0

Technology Focus:

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Compounds: (I) is present in the B-type or H-type crystal modification. The antidiabetic compound is preferably a glitazone, e.g. rosiglitazone, troglitazone or pioglitazone, or metformin or its hydrochloride. Preferred Combination: The combination may further comprise insulin, or comprises at least 2 antidiabetic compounds.

Preferred Composition: A composition comprising (I) and a carrier releases 60-95 wt.% (I) within 30 minutes in water. The composition may further comprise colloidal silicon dioxide, and a disintegrant, preferably having molecular weight greater than 1000000, and particle size distribution of less than 400 microm or less than 74 microm. The composition may be in the form of a tablet, a granular composition, or contained in a capsule.

Title Terms: COMBINATION; ANTIDIABETIC; COMPOUND; TREAT; METABOLISM; DISORDER; DIABETES; ASSOCIATE; CONDITION; EFFECT; WEIGHT; LOSS

Derwent Class: A96; B05

International Patent Class (Main): A61K-000/00; A61K-031/00; A61K-031/16; A61K-031/198; A61K-031/4439; A61K-031/64; A61K-038/13

International Patent Class (Additional): A61K-009/16; A61K-009/20; A61K-009/48; A61K-031/155; A61K-031/175; A61K-031/195; A61K-031/425; A61K-031/426; A61K-031/44; A61K-031/4433; A61K-031/63; A61K-038/28; A61K-047/04; A61K-047/12; A61K-047/26; A61K-047/32; A61K-047/38; A61P-001/00; A61P-001/04; A61P-003/00; A61P-003/04; A61P-003/10; A61P-009/00; A61P-009/10; A61P-009/12; A61P-013/12; A61P-015/00; A61P-015/10; A61P-017/00; A61P-019/02; A61P-019/10; A61P-027/06; A61P-027/12; A61K-031/198; A61K-031-155; A61K-031-425; A61K-031-64; A61K-031/16; A61K-031-427

File Segment: CPI

Manual Codes (CPI/A-N): A12-V01; B04-C02A1; B04-C02A2; B04-C03A; B05-B02C; B06-A01; B07-A02B; B07-D04C; B07-F01; B10-A17; B10-C04A; B10-C04E; B12-M11B; B14-E12; B14-R01; B14-S04

Chemical Fragment Codes (M1):

\*07\* M423 M431 M782 M904 M905 M910 Q120 Q254 R038 R01852-K R01852-M  
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R038 RA04WZ-K RA04WZ-M  
\*09\* A111 A960 C710 M423 M431 M630 M782 M904 M905 Q120 Q254 R038 RA002Y-K  
RA002Y-M

Chemical Fragment Codes (M2):

\*01\* G010 G035 G111 G563 J0 J012 J1 J171 J3 J351 M210 M213 M232 M240 M281  
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RA27XA-T RA27XA-M RA27XA-U  
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\*03\* C017 C100 C800 C801 C803 C804 C805 C806 C807 K0 L2 L240 M210 M211  
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\*04\* F012 F014 F015 F019 F431 F710 G013 G100 H1 H103 H121 H5 H541 H8 J5  
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M342 M383 M391 M413 M431 M510 M522 M531 M540 M782 M904 M905 P731  
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\*05\* D012 D016 D025 D120 F012 F014 F015 F710 G013 G100 H4 H401 H441 H5  
H541 H8 J5 J522 L9 L910 M1 M123 M132 M210 M211 M240 M283 M311 M322  
M342 M373 M391 M412 M431 M511 M521 M531 M540 M782 M904 M905 P731

P816 Q120 Q254 R031 R032 R038 RA052J-K RA052J-T RA052J-M  
\*06\* F012 F014 F015 F019 F431 F710 G013 G100 H5 H541 H8 J5 J522 L9 L910  
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M413 M431 M510 M522 M531 M540 M782 M904 M905 P731 P816 Q120 Q254  
R031 R032 R038 R23694-K R23694-T R23694-M

Polymer Indexing (PS):

<01>

\*001\* 018; G0635 G0022 D01 D12 D10 D23 D22 D31 D41 D51 D53 D58 D75 D86  
F71; H0000; M9999 M2073

\*002\* 018; ND01; Q9999 Q8037 Q7987; Q9999 Q7250

\*003\* 018; B9999 B5094 B4977 B4740; B9999 B5209 B5185 B4740

<02>

\*001\* 018; R24033 G3714 P0599 D01 F70; S9999 S1423 S1401

\*002\* 018; ND01; Q9999 Q8037 Q7987; Q9999 Q7250

<03>

\*001\* 018; R01852-R G3634 D01 D03 D11 D10 D23 D22 D31 D42 D50 D76 D86 F24  
F29 F26 F34 H0293 P0599 G3623

\*002\* 018; ND01; Q9999 Q8037 Q7987; Q9999 Q7250

\*003\* 018; Q9999 Q9347; B9999 B4795 B4773 B4740

Derwent Registry Numbers: 1694-U; 1852-U

Specific Compound Numbers: RA27XA-K; RA27XA-T; RA27XA-M; RA27XA-U; R14399-K  
; R14399-T; R14399-M; RA0MPQ-K; RA0MPQ-T; RA0MPQ-M; RA052X-K; RA052X-T;  
RA052X-M; RA052J-K; RA052J-T; RA052J-M; R23694-K; R23694-T; R23694-M;  
R01852-K; R01852-M; RA04WZ-K; RA04WZ-M; RA002Y-K; RA002Y-M; RA1Z26-K;  
RA1Z26-M; R01694-K; R01694-M; R01376-K; R01376-M

Key Word Indexing Terms:

\*01\* 123381-1-0-0-CL, USE 26073-0-0-0-CL 26073-0-1-0-CL, ST  
111925-0-0-0-CL 109523-0-0-0-CL 111061-0-0-0-CL 90356-0-0-0-CL  
104488-0-0-0-CL 91820-0-0-0-CL 295347-1-0-0-CL 107016-0-0-0-CL  
2021-0-1-0-CL, ST

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